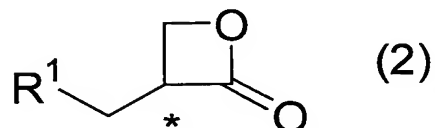


## CLAIMS

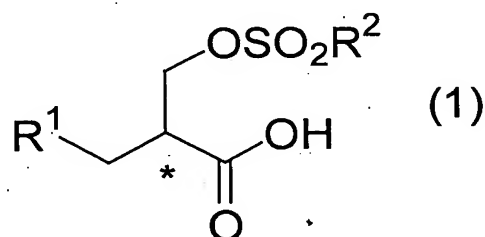
1. A process for producing an optically active  $\beta$ -lactone derivative represented by formula (2):



5

(wherein \* represents an asymmetric carbon atom, and  $\text{R}^1$  represents a phenyl group which may be substituted), the process comprising cyclizing an optically active 2-sulfonyloxymethyl-3-phenylpropionic acid derivative represented by formula (1):

10



(wherein \* and  $\text{R}^1$  represent the same as the above, and  $\text{R}^2$  represents a  $\text{C}_1\text{--C}_{10}$  alkyl group which may be substituted or a  $\text{C}_6\text{--C}_{20}$  aryl group which may be substituted).

15 2. The process according to claim 1, wherein cyclization reaction is performed in a mixed solvent containing water and an organic solvent.

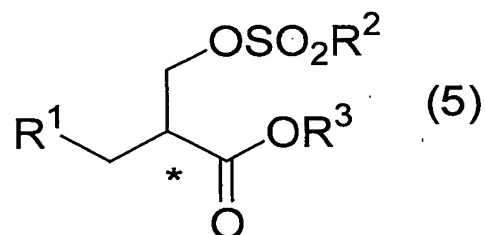
3. The process according to claim 2, wherein at least one selected from the group consisting of toluene, benzene, 20 xylene, anisole, ethyl acetate, diethyl ether, methylene chloride, chloroform, and carbon tetrachloride is used as the organic solvent.

4. The process according to any one of claims 1 to 3, wherein

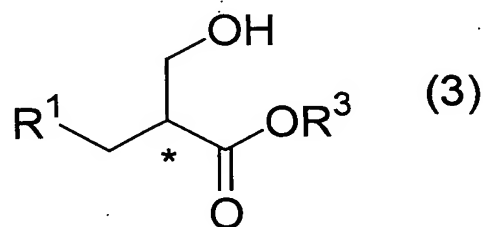
the cyclization reaction is performed at a pH of 4 or higher.

5. The process according to any one of claims 1 to 3, wherein the cyclization reaction is performed in a pH range of 4 to 12.

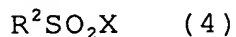
5 6. The process according to any one of claims 1 to 5, wherein the optically active 2-sulfonyloxymethyl-3-phenylpropionic acid derivative represented by formula (1) is obtained by hydrolyzing an optically active 2-sulfonyloxymethyl-3-phenylpropionic acid ester derivative represented by formula  
10 (5):



(wherein \*,  $\text{R}^1$ , and  $\text{R}^2$  represent the same as the above, and  $\text{R}^3$  represents a  $\text{C}_1\text{--C}_{10}$  alkyl group which may be substituted or a  $\text{C}_6\text{--C}_{20}$  aryl group which may be substituted by a  $\text{C}_6\text{--C}_{20}$  group),  
15 the derivative represented formula (5) being produced by reacting an optically active 2-hydroxymethyl-3-phenylpropionic acid ester derivative represented by formula (3):



20 (wherein \*,  $\text{R}^1$ , and  $\text{R}^3$  represent the same as the above) with a sulfonic acid halide represented by formula (4):



7. The process according to claim 6, wherein hydrolysis is performed with at least one acid selected from the group consisting of acetic acid, formic acid, hydrochloric acid, sulfuric acid, p-toluenesulfonic acid, methanesulfonic acid, and trifluoromethane sulfonic acid.

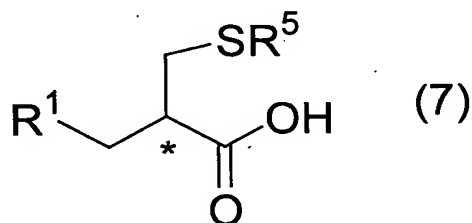
8. The process according to claim 6, wherein hydrolysis is performed with sulfuric acid or p-toluenesulfonic acid and acetic acid.

9. The process according to any one of claims 6 to 8, wherein hydrolysis is performed at a temperature in a range of 50°C to a reflux temperature.

10. The process according to any one of claims 6 to 9, wherein R<sup>2</sup> is methyl, p-tolyl, phenyl, benzyl, or trifluoromethyl.

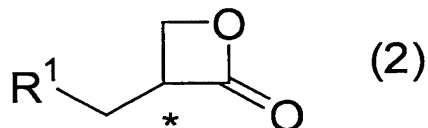
11. The process according to any one of claims 6 to 10, wherein R<sup>3</sup> is methyl, ethyl, or tert-butyl.

12. A process for producing an optically active 2-thiomethyl-3-phenylpropionic acid derivative represented by formula (7):

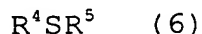


(wherein \* represents an asymmetric carbon atom, R<sup>1</sup> represents a phenyl group which may be substituted, and R<sup>5</sup> represents a C<sub>1</sub>-C<sub>10</sub> alkyl group which may be substituted, a C<sub>6</sub>-C<sub>20</sub> aryl group

which may be substituted, a C<sub>2</sub>-C<sub>20</sub> acyl group which may be substituted, or a C<sub>7</sub>-C<sub>20</sub> aroyl group which may be substituted), the process comprising reacting an optically active β-lactone derivative represented by formula (2):



(wherein \* and R<sup>1</sup> represent the same as the above) with a sulfur compound represented by formula (7):



(wherein R<sup>4</sup> represents a hydrogen atom or an alkali metal atom, and R<sup>5</sup> represents the same as the above).

13. The process according to claim 12, wherein the optically active β-lactone derivative represented by formula (2) is produced by the process according to any one of claims 1 to 11.

14. The process according to claim 12 or 13, wherein R<sup>4</sup> is a hydrogen atom or a potassium atom.

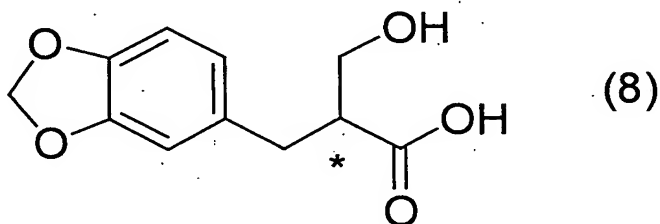
15. The process according to any one of claims 12 to 14, wherein R<sup>5</sup> is acetyl.

16. The process according to any one of claims 1 to 15, wherein R<sup>1</sup> is any one selected from the group consisting of phenyl, 2,3-methylenedioxyphenyl, 2,3-ethylenedioxyphenyl, 2,3-propylenedioxyphenyl, 3,4-methylenedioxyphenyl, 3,4-ethylenedioxyphenyl, 3,4-propylenedioxyphenyl, o-tolyl, m-tolyl, p-tolyl, 2,3-xylyl, 2,4-xylyl, 2,5-xylyl, 2,6-xylyl, 3,4-xylyl, o-phenoxyphenyl, m-phenoxyphenyl, p-phenoxyphenyl, o-phenylphenyl, m-phenylphenyl, p-

phenylphenyl, o-chlorophenyl, m-chlorophenyl, p-chlorophenyl, o-bromophenyl, m-bromophenyl, p-bromophenyl, o-fluorophenyl, m-fluorophenyl, p-fluorophenyl, o-nitrophenyl, m-nitrophenyl, p-nitrophenyl, o-cyanophenyl, m-cyanophenyl, p-cyanophenyl, o-hydroxyphenyl, m-hydroxyphenyl, p-hydroxyphenyl, o-methoxyphenyl, m-methoxyphenyl, p-methoxyphenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, 3,4-dimethoxyphenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 2,6-difluorophenyl, 3,4-difluorophenyl, 2,3-dihydroxyphenyl, 2,4-dihydroxyphenyl, 2,5-dihydroxyphenyl, 2,6-dihydroxyphenyl, and 3,4-dihydroxyphenyl.

17. The process according to any one of claims 1 to 16, wherein  $R^1$  is phenyl or 3,4-methylenedioxyphenyl.

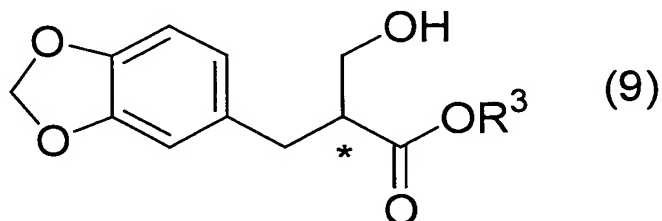
18. The process according to claims 12, wherein the optically active  $\beta$ -lactone derivative represented by formula (2) is produced by cyclizing an optically active 2-hydroxymethyl-3-(3,4-methylenedioxyphenyl)propionic acid derivative represented by formula (8):



(wherein \* represents an asymmetric carbon atom).

19. The process according to claims 18, wherein the optically active 2-hydroxymethyl-3-(3,4-methylenedioxyphenyl)propionic acid derivative represented

by formula (8) is produced by hydrolyzing an optically active 2-hydroxymethyl-3-(3,4-methylenedioxyphenyl)propionic acid ester derivative represented by formula (9):



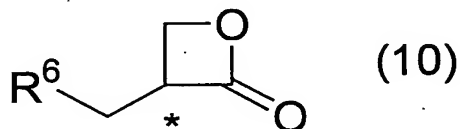
(wherein \* represents an asymmetric carbon atom, and  $R^3$  represents a  $C_1$ - $C_{10}$  alkyl group which may be substituted, or a  $C_6$ - $C_{20}$  aryl group which may be substituted).

20. The process according to claim 19, wherein  $R^3$  is methyl, ethyl, or tert-butyl.

21. The process according to any one of claims 1 to 20, wherein the asymmetric carbon atom has an S absolute configuration.

22. The process according to any one of claims 1 to 20, wherein the asymmetric carbon atom has an R absolute configuration.

23. An optically active  $\beta$ -lactone derivative represented by formula (10):



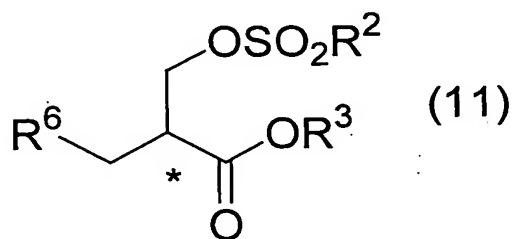
(wherein \* represents an asymmetric carbon atom, and  $R^6$  represents a substituted phenyl group).

24. The compound according to claim 23, wherein  $R^6$  is any one selected from the group consisting of 2,3-methylenedioxyphenyl, 2,3-ethylenedioxyphenyl, 2,3-

propylenedioxyphenyl, 3,4-methylenedioxyphenyl, 3,4-ethylenedioxyphenyl, 3,4-propylenedioxyphenyl, o-tolyl, m-tolyl, p-tolyl, 2,3-xylyl, 2,4-xylyl, 2,5-xylyl, 2,6-xylyl, 3,4-xylyl, o-phenoxyphenyl, m-phenoxyphenyl, p-phenoxyphenyl, o-phenylphenyl, m-phenylphenyl, p-phenylphenyl, o-chlorophenyl, m-chlorophenyl, p-chlorophenyl, o-bromophenyl m-bromophenyl, p-bromophenyl, o-fluorophenyl, m-fluorophenyl, p-fluorophenyl, o-nitrophenyl, m-nitrophenyl, p-nitrophenyl, o-cyanophenyl, m-cyanophenyl, p-cyanophenyl, o-hydroxyphenyl, m-hydroxyphenyl, p-hydroxyphenyl, o-methoxyphenyl, m-methoxyphenyl, p-methoxyphenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, 3,4-dimethoxyphenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 2,6-difluorophenyl, 3,4-difluorophenyl, 2,3-dihydroxyphenyl, 2,4-dihydroxyphenyl, 2,5-dihydroxyphenyl, 2,6-dihydroxyphenyl, and 3,4-dihydroxyphenyl.

25. The compound according to claim 23, wherein  $R^6$  is 3,4-methylenedioxyphenyl.

26. An optically active 2-sulfonyloxymethyl-3-phenylpropionic acid ester derivative represented by formula (11):



(wherein \* represents an asymmetric carbon atom,  $R^6$  represents

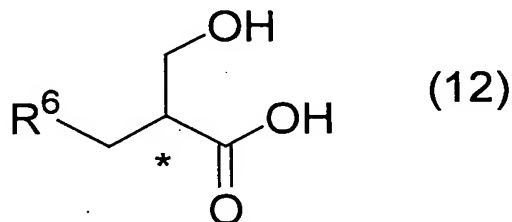
a substituted phenyl group,  $R^2$  represents a  $C_1$ - $C_{10}$  alkyl group which may be substituted or a  $C_6$ - $C_{20}$  aryl group which may be substituted, and  $R^3$  represents a  $C_1$ - $C_{10}$  alkyl group which may be substituted or a  $C_6$ - $C_{20}$  aryl group which may be substituted).

27. The compound according to claim 26, wherein  $R^2$  is methyl, p-tolyl, phenyl, benzyl, or trifluoromethyl.

28. The compound according to claim 26 or 27, wherein  $R^3$  is methyl, ethyl, or tert-butyl.

29. The compound according to any one of claims 26 to 28, wherein  $R^6$  is any one selected from the group consisting of 3,4-methylenedioxyphenyl, 3,4-ethylenedioxyphenyl, 3,4-propylenedioxyphenyl, o-tolyl, m-tolyl, p-tolyl, 2,3-xylyl, 2,4-xylyl, 2,5-xylyl, 2,6-xylyl, 3,4-xylyl, o-chlorophenyl, m-chlorophenyl, p-chlorophenyl, o-bromophenyl, m-bromophenyl, p-bromophenyl, o-fluorophenyl, m-fluorophenyl, p-fluorophenyl, o-nitrophenyl, m-nitrophenyl, p-nitrophenyl, o-cyanophenyl, m-cyanophenyl, p-cyanophenyl, o-hydroxyphenyl, m-hydroxyphenyl, p-hydroxyphenyl, o-methoxyphenyl, m-methoxyphenyl, p-methoxyphenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, and 3,4-dimethoxyphenyl.

30. An optically active 2-hydroxymethyl-3-phenylpropionic acid derivative represented by formula (12):



(wherein \* represents an asymmetric carbon atom, and  $R^6$



represents a substituted phenyl group).

31. The compound according to claim 30, wherein R<sup>6</sup> is any one selected from the group consisting of 2,3-methylenedioxyphenyl, 2,3-ethylenedioxyphenyl, 2,3-propylenedioxyphenyl, 3,4-methylenedioxyphenyl, 3,4-ethylenedioxyphenyl, 3,4-propylenedioxyphenyl, 2,3-xylyl, 2,4-xylyl, 2,5-xylyl, 2,6-xylyl, 3,4-xylyl, o-chlorophenyl, m-chlorophenyl, p-chlorophenyl, o-bromophenyl, m-bromophenyl, p-bromophenyl, o-fluorophenyl, m-fluorophenyl, p-fluorophenyl, o-nitrophenyl, m-nitrophenyl, p-nitrophenyl, o-cyanophenyl, m-cyanophenyl, p-cyanophenyl, o-hydroxyphenyl, m-hydroxyphenyl, p-hydroxyphenyl, o-methoxyphenyl, m-methoxyphenyl, p-methoxyphenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, and 3,4-dimethoxyphenyl.

32. The compound according to any one of claims 23 to 31, wherein the asymmetric carbon atom has an S absolute configuration.

33. The compound according to any one of claims 23 to 31, wherein the asymmetric carbon atom has an R absolute configuration.